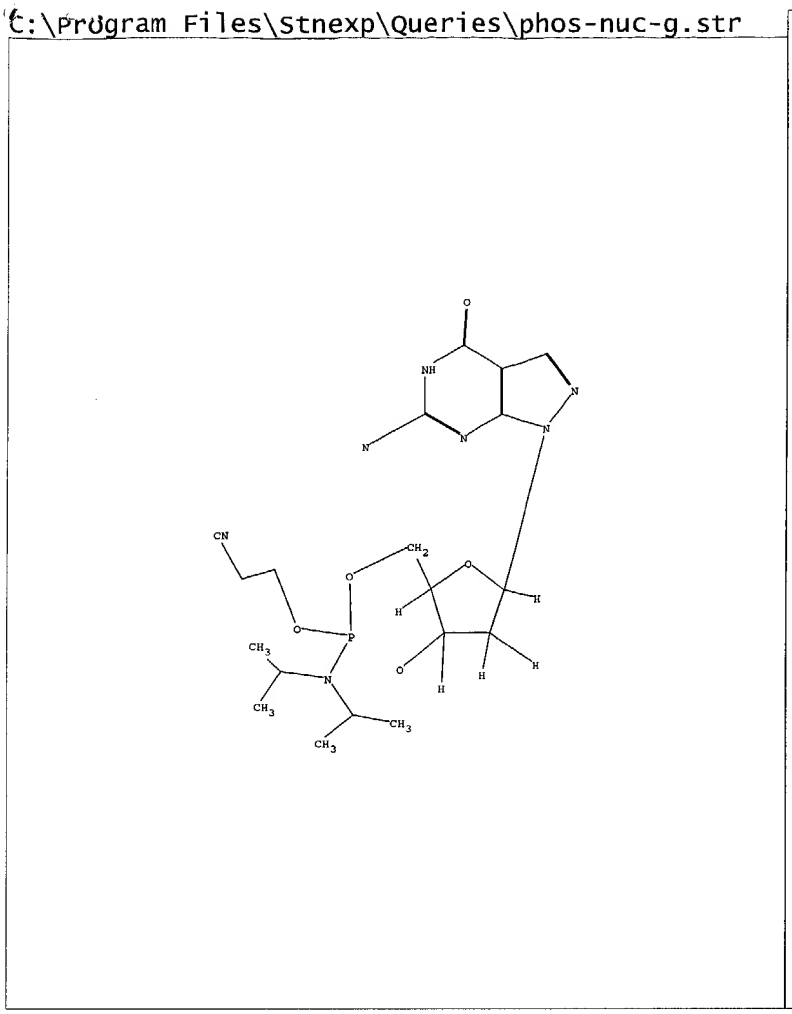
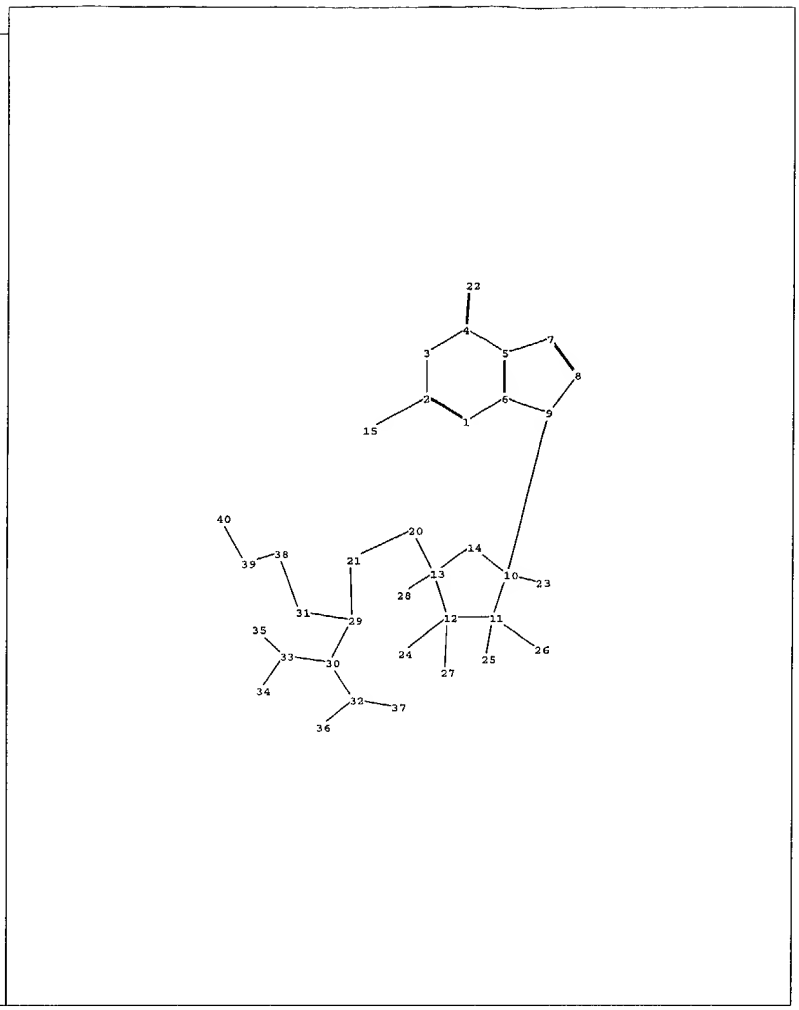
C:\Program Files\Stnexp\Queries\phos-nuc-g.str





chain nodes :
15 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
2-15 4-22 9-10 10-23 11-25 11-26 12-24 12-27 13-20 13-28 20-21 21-29 29-30
29-31 30-32 30-33 31-38 32-36 32-37 33-34 33-35 38-39 39-40
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 2-3 2-15 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-10 10-11 10-14 11-12
12-13 12-24 13-14 21-29 29-30 29-31 30-32 30-33 31-38
exact bonds :
10-23 11-25 11-26 12-27 13-20 13-28 20-21 32-36 32-37 33-34 33-35 38-39 39-40

G1:H,Ak

G2:H,Ak,N

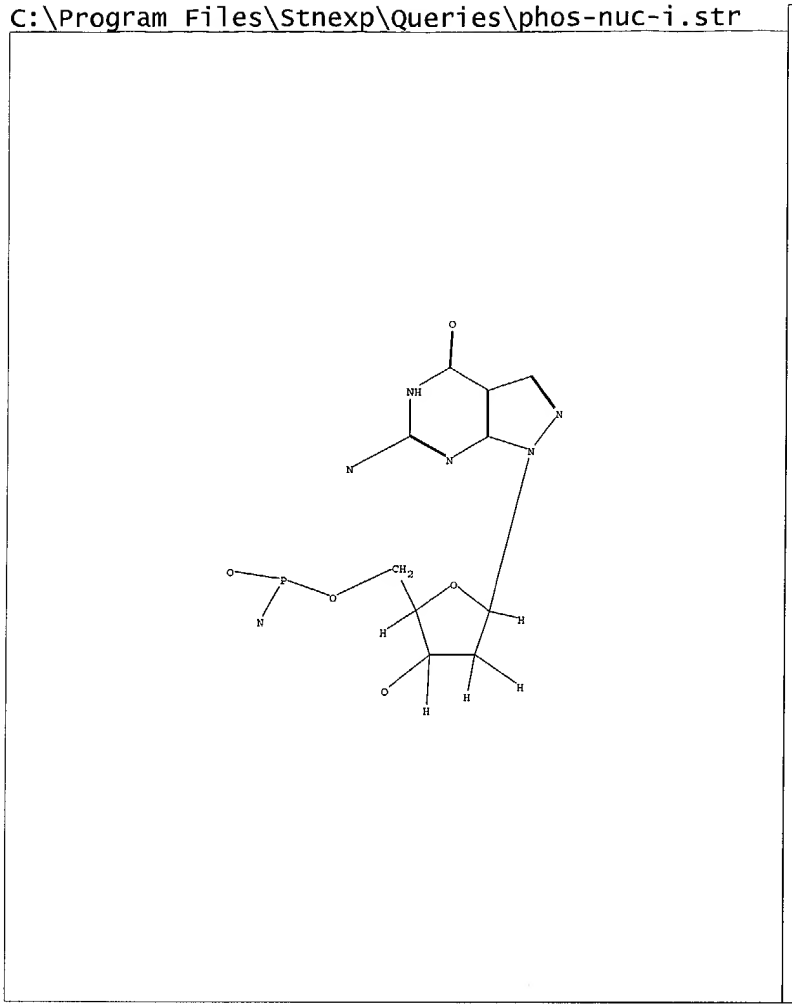
G3:H,X,Ak

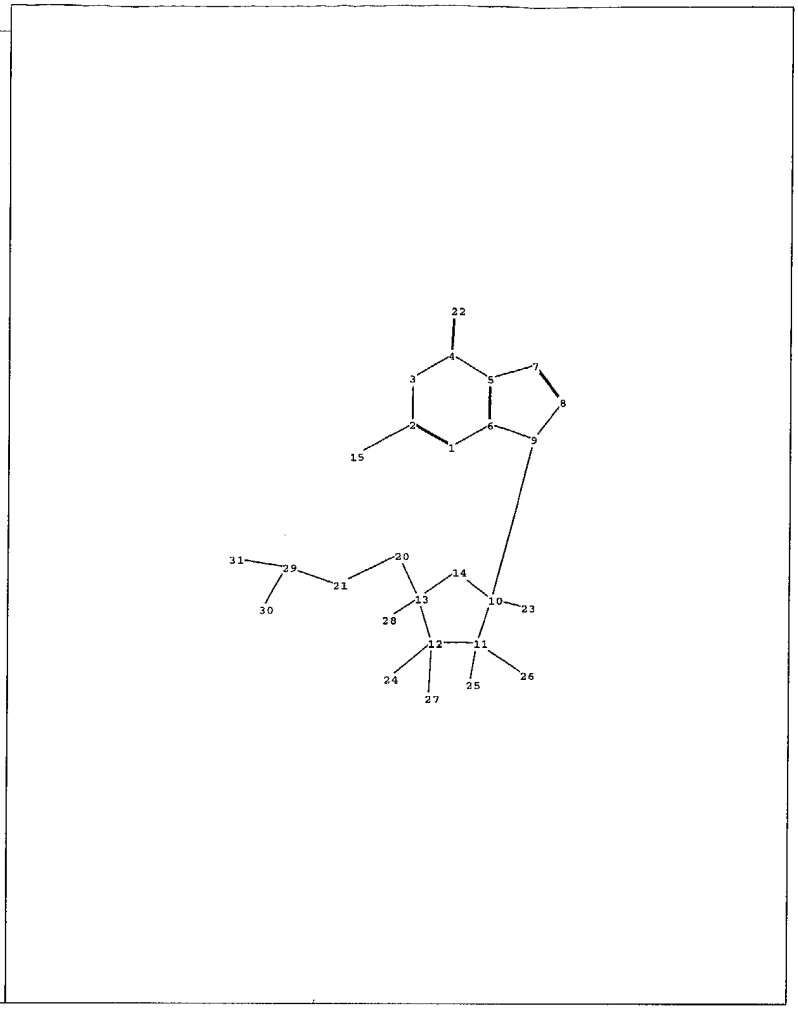
G4:H,Ak,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS

```
 d L1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 12:37:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      3 TO ITERATE

100.0% PROCESSED       3 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            3 TO      163
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:37:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     51 TO ITERATE

100.0% PROCESSED      51 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1
```

C:\Program Files\Stnexp\Queries\phos-nuc-i.str





chain nodes :
15 20 21 22 23 24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
2-15 4-22 9-10 10-23 11-25 11-26 12-24 12-27 13-20 13-28 20-21 21-29 29-31
29-30
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 2-3 2-15 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-10 10-11 10-14 11-12
12-13 12-24 13-14 21-29 29-31 29-30
exact bonds :
10-23 11-25 11-26 12-27 13-20 13-28 20-21

G1:H,Ak

G2:H,Ak,N

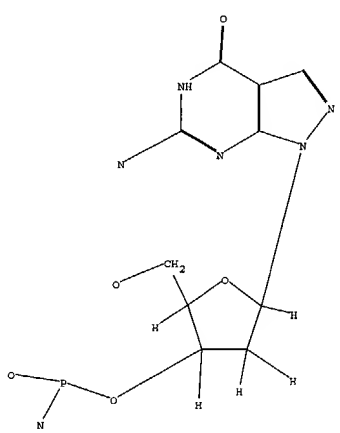
G3:H,X,Ak

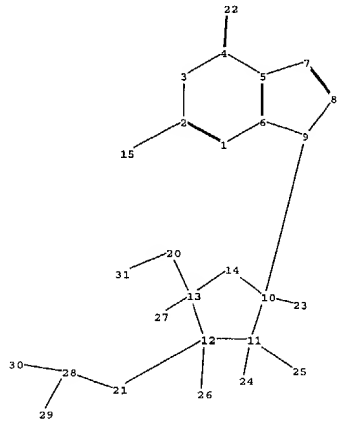
G4:H,Ak,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

```
=>
Uploading phos-nuc-i.str

L6      STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l6 sss sam
SAMPLE SEARCH INITIATED 14:06:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      7 TO ITERATE

100.0% PROCESSED       7 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            7 TO      298
PROJECTED ANSWERS:               0 TO        0

L7            0 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 14:06:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     71 TO ITERATE

100.0% PROCESSED      71 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L8            0 SEA SSS FUL L6
```

C:\Program Files\Stnexp\Queries\phos-nuc-j.str





chain nodes :
15 20 21 22 23 24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
2-15 4-22 9-10 10-23 11-24 11-25 12-26 12-21 13-20 13-27 20-31 21-28 28-30
28-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 2-3 2-15 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-10 10-11 10-14 11-12
12-13 12-21 13-14 21-28 28-30 28-29
exact bonds :
10-23 11-24 11-25 12-26 13-20 13-27 20-31

G1:H,Ak

G2:H,Ak,N

G3:H,X,Ak

G4:H,Ak,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

L15 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:119293 CAPLUS
DOCUMENT NUMBER: 112:119293
TITLE: Pyrazolo[3,4-d]pyrimidine 2'-deoxyribo- and 2',3'-dideoxyribofuranosides: synthesis and application to oligonucleotide chemistry
AUTHOR(S): Seela, F.; Driller, H.; Kaiser, K.; Rosemeyer, H.; Steker, H.
CORPORATE SOURCE: Lab. Org. Bioorg. Chem., Univ. Osnabrueck, Fed. Rep. Ger.
SOURCE: Nucleosides & Nucleotides (1989), Volume Date 1988, 8(5-6), 789-92
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:119293
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

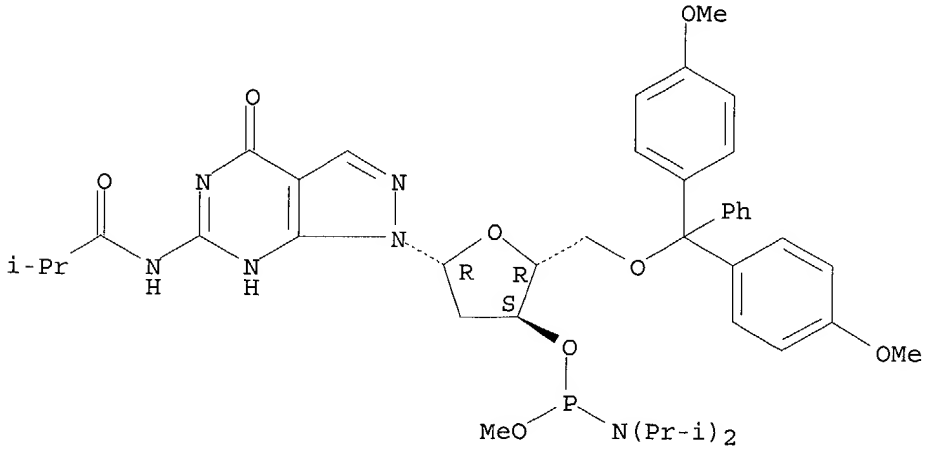
AB A symposium communication on the synthesis of pyrazolopyrimidine deoxyribonucleosides, e.g., I (R = NH2, H; R1 = H, NH2) and II (R2 = H, NH2), is described employing either liq.-liq. or solid-liq. phase-transfer glycosylation. From I (R = NH2, R1 = H) and II (R2= NH2), the phosphoramidates III (R3 = Me, CH2CH2CN, DMT = dimethoxytrityl) and IV were prepd. They were used in automated solid-phase synthesis of 10 oligonucleotides. Deoxygenation of I (R = NH2, R1 = H) and II (R2 = NH2) yielded pyrazolopyrimidine 2',3'-dideoxynucleosides isosteric to ddA, ddG, and ddI.

IT **118907-75-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for synthesis of oligonucleotides)

RN 118907-75-8 CAPLUS

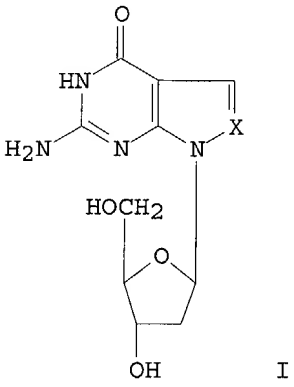
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino]methoxyphosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:458263 CAPLUS
DOCUMENT NUMBER: 111:58263
TITLE: Alternating d(G-C)3 and d(C-G)3 hexanucleotides containing 7-deaza-2'-deoxyguanosine or 8-aza-7-deaza-2'-deoxyguanosine in place of dG
AUTHOR(S): Seela, Frank; Driller, Hansjuergen
CORPORATE SOURCE: Fachber. Biol./Chem., Univ. Osnabrueck, Osnabrueck, D-4500, Fed. Rep. Ger.
SOURCE: Nucleic Acids Research (1989), 17(3), 901-10
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

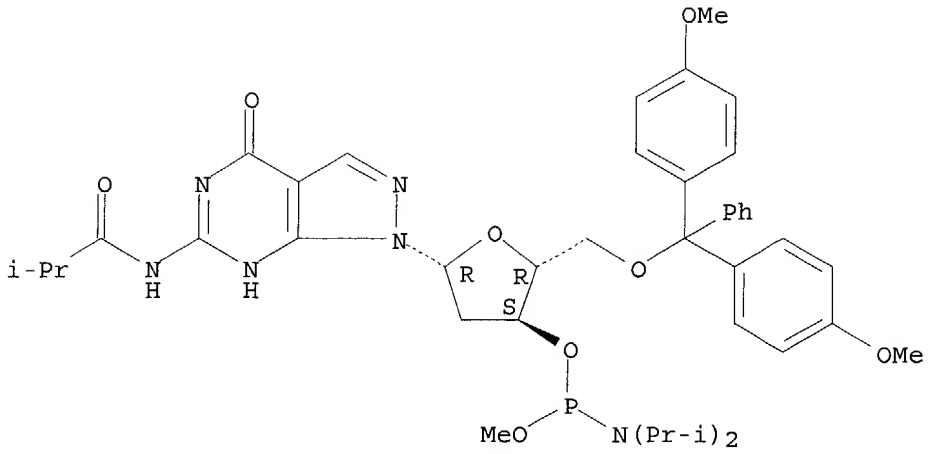
AB The synthesis of alternating hexamers derived from d(C-G)3 or d(G-C)3 but contg. c7z8Gd (I, X = N) or c7Gd (I, X = CH) instead of dG is described employing phosphoramidite-chem. Apart from the isobutyryl group, the dimethylaminomethylene residue was used for the nucleobase-protection of I (X = CH). The methyl- and the cyanoethyl-phosphoramidites of I (X = CH) were prepd. They were employed together with those of c7G or c7z8Gd in automated oligonucleotide synthesis. Tm-values as well as thermodn. data of the oligomers indicated that duplexes were destabilized if c7Gd replaced dG, whereas c7z8Gd stabilized the duplex structure. In contrast to d(C-G)3 which underwent salt-dependent B-Z transition, the CD spectra of oligomers contg. c7Gd or c7z8Gd in place of dG showed retained .beta.-conformation.

IT **118907-75-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of, in synthesis of hexanucleotides)

RN 118907-75-8 CAPLUS

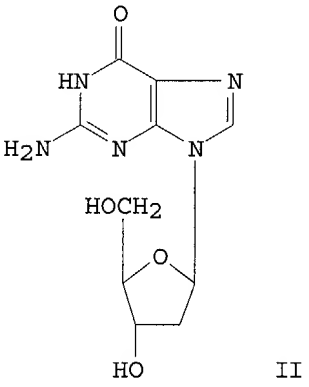
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino]methoxyphosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

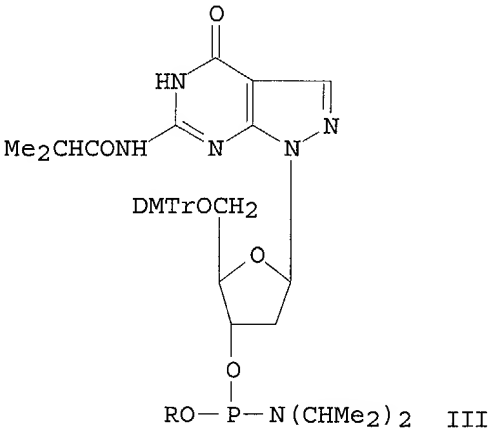


L15 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:75966 CAPLUS
DOCUMENT NUMBER: 110:75966
TITLE: 8-Aza-7-deaza-2'-deoxyguanosine: phosphoramidite synthesis and properties of octanucleotides
AUTHOR(S): Seela, Frank; Driller, Hansjuergen
CORPORATE SOURCE: Lab. Org. Bioorgan. Chem., Univ. Osnabrueck, Osnabrueck, D-4500, Fed. Rep. Ger.
SOURCE: Helvetica Chimica Acta (1988), 71(5), 1191-8
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:75966
GI



II



III

AB Base-modified octanucleotides derived from d(G1-G2-A-A-T-T-C-C) (I) but contg. 8-aza-7-deaza-2'-deoxyguanosine (II) instead of 2'-deoxyguanosine have been prepd. by solid-phase synthesis employing P(III) chem. Isobutyrylation of II, followed by 4,4'-dimethoxytritylation and subsequent phosphitylation yielded the Me or the cyanoethyl phosphoramidites III [R = Me, (CH2)2CN], resp. They were used as building blocks in automated DNA synthesis. The resulting octanucleotides contg. II showed increased Tm values compared to the parent oligomer I. The oligomers prepd. were employed as sequence-specific probes in endodeoxyribonuclease Eco RI oligonucleotide recognition. Whereas displacement of dG-2 (enzymic cleavage site of I) abolished phosphodiester

hydrolysis, replacement of dG-1 enhanced the cleavage rate compared to I.

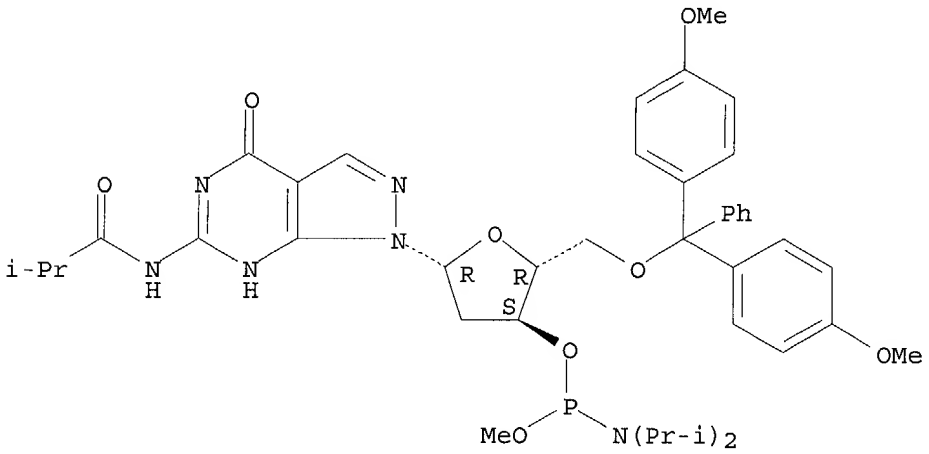
IT **118907-75-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, intermediate in synthesis of octanucleotides)

RN 118907-75-8 CAPLUS

CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino]methoxyphosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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      FILE 'REGISTRY' ENTERED AT 13:44:27 ON 03 DEC 2003
L1                STRUCTURE UPLOADED
L2              1 S L1 SSS SAM
L3              9 S L1 SSS FULL

      FILE 'CAPLUS, MEDLINE' ENTERED AT 13:47:00 ON 03 DEC 2003
L4              9 S L3
L5              9 DUP REM L4 (0 DUPLICATES REMOVED)

      FILE 'REGISTRY' ENTERED AT 14:05:34 ON 03 DEC 2003
L6                STRUCTURE UPLOADED
L7              0 S L6 SSS SAM
L8              0 S L6 SSS FULL
L9                STRUCTURE UPLOADED
L10             1 S L9 SSS SAM
L11            10 S L9 SSS FULL
L12             1 S L11 NOT L3

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L13             3 S L12

      FILE 'REGISTRY' ENTERED AT 14:18:34 ON 03 DEC 2003
L14             1 DUP REM L12 (0 DUPLICATES REMOVED)

      FILE 'CAPLUS, MEDLINE' ENTERED AT 14:19:01 ON 03 DEC 2003
L15             3 S L12
L16             3 DUP REM L15 (0 DUPLICATES REMOVED)
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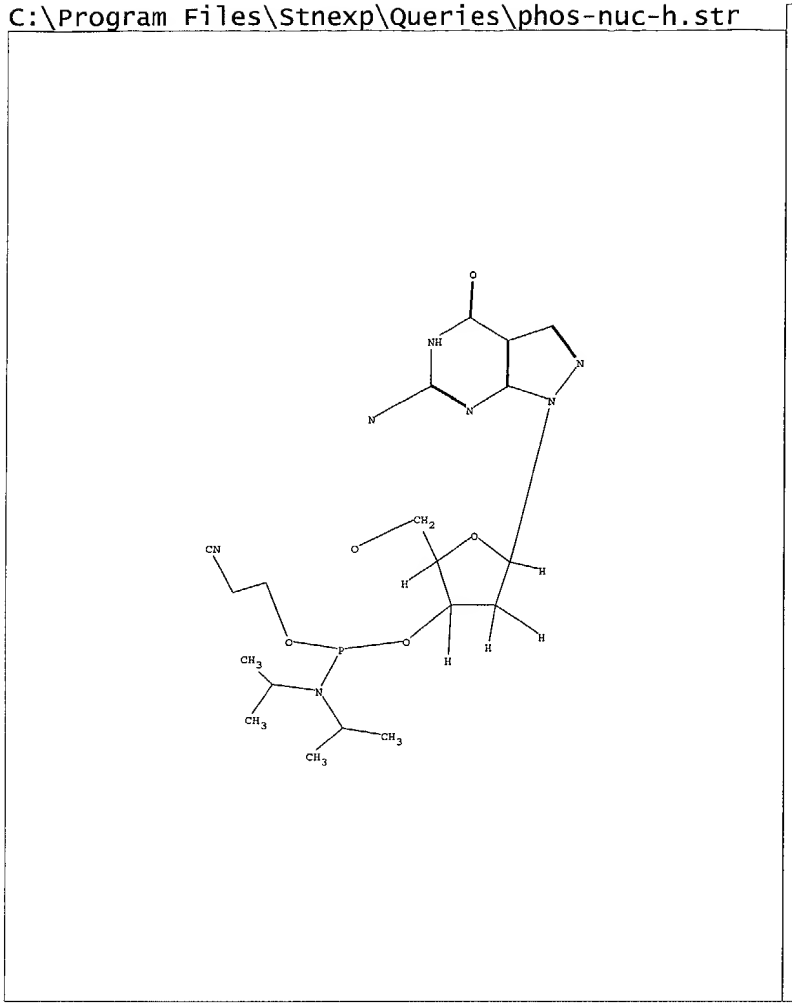
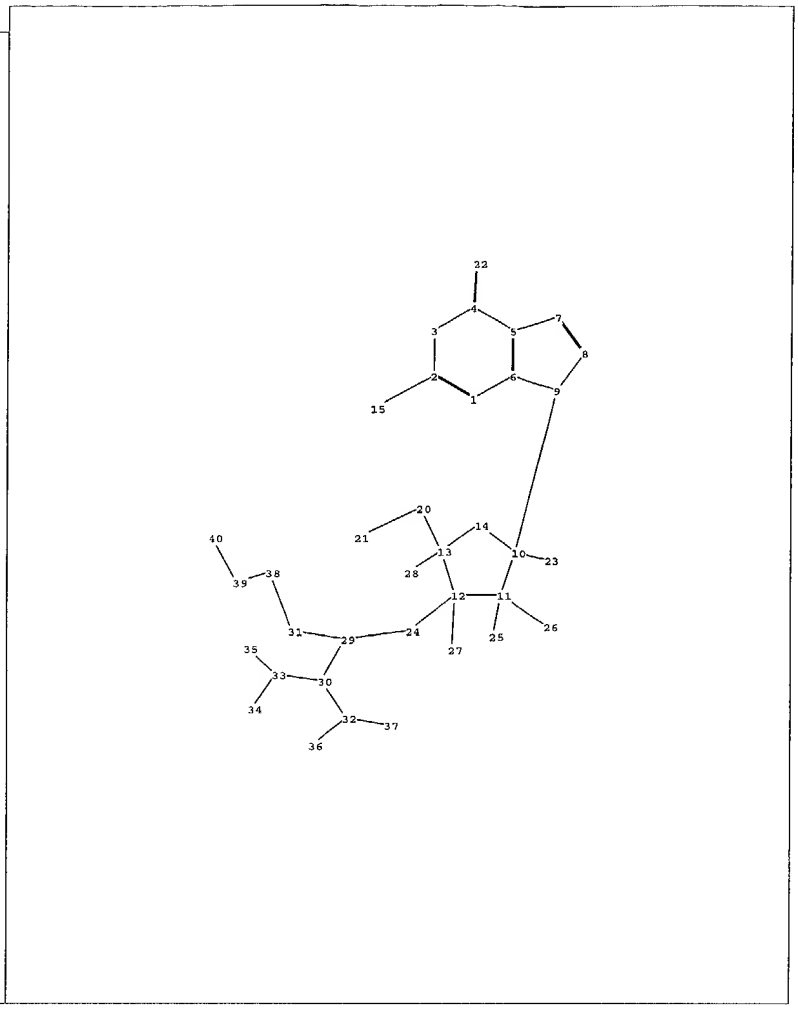
C:\Program Files\Stnexp\Queries\phos-nuc-h.str





chain nodes :
15 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
2-15 4-22 9-10 10-23 11-25 11-26 12-24 12-27 13-20 13-28 20-21 24-29 29-31
29-30 30-32 30-33 31-38 32-36 32-37 33-34 33-35 38-39 39-40
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 2-3 2-15 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-10 10-11 10-14 11-12
12-13 12-24 13-14 24-29 29-31 29-30 30-32 30-33 31-38
exact bonds :
10-23 11-25 11-26 12-27 13-20 13-28 20-21 32-36 32-37 33-34 33-35 38-39 39-40

G1:H,Ak

G2:H,Ak,N

G3:H,X,Ak

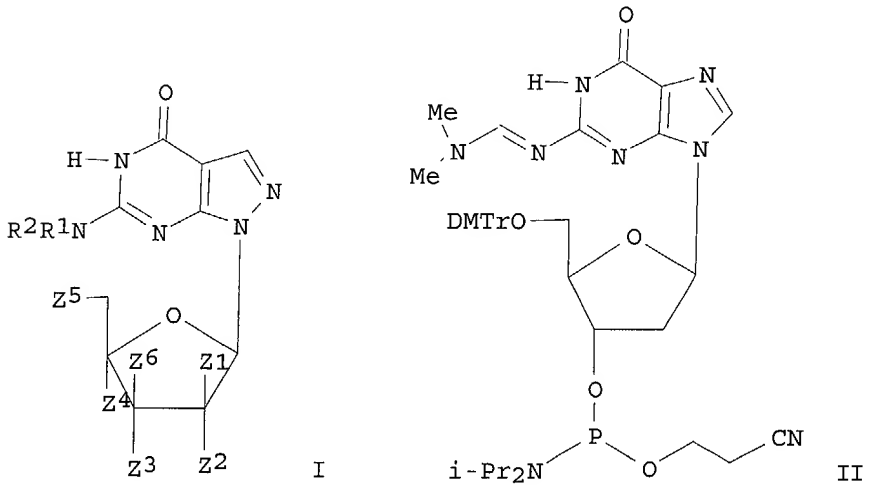
G4:H,Ak,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:221699 CAPLUS
DOCUMENT NUMBER: 138:221790
TITLE: Process for the synthesis of pyrazolopyrimidine nucleosides via halogenation reaction and using photolabile hydroxy protecting groups
INVENTOR(S): Dempcy, Robert O.; Adams, A. David; Reed, Michael W.
PATENT ASSIGNEE(S): Epoch Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022859	A2	20030320	WO 2002-US28476	20020905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003078413	A1	20030424	US 2001-954624	20010912

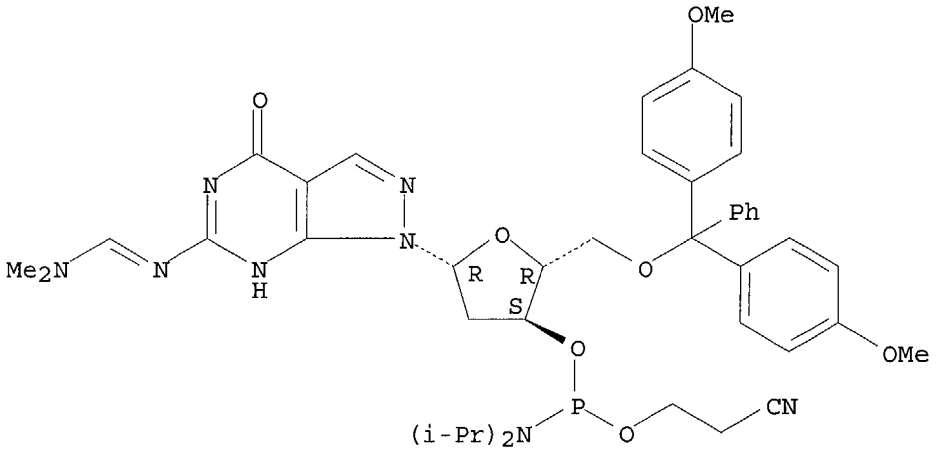
PRIORITY APPLN. INFO.: US 2001-954624 A 20010912
OTHER SOURCE(S): CASREACT 138:221790; MARPAT 138:221790
GI



AB The present invention provides a nucleosides comprising a pyrazolopyrimidine base I and a process for producing the same. In particular, the processes of the present invention comprises using a halogenated pyrazolopyrimidine base and removing the halogen after the base is coupled to a sugar moiety. The presence of the halogen on the nucleoside base allows facile and economical prodn. of a large quantity of nucleosides. Thus, II was prepd. via halogenation reaction and using photolabile hydroxy protecting groups.

IT **500891-26-9P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for synthesis of pyrazolopyrimidine nucleosides via halogenation reaction and using photolabile hydroxy protecting groups)
RN 500891-26-9 CAPLUS
CN Methanimidamide, N'-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



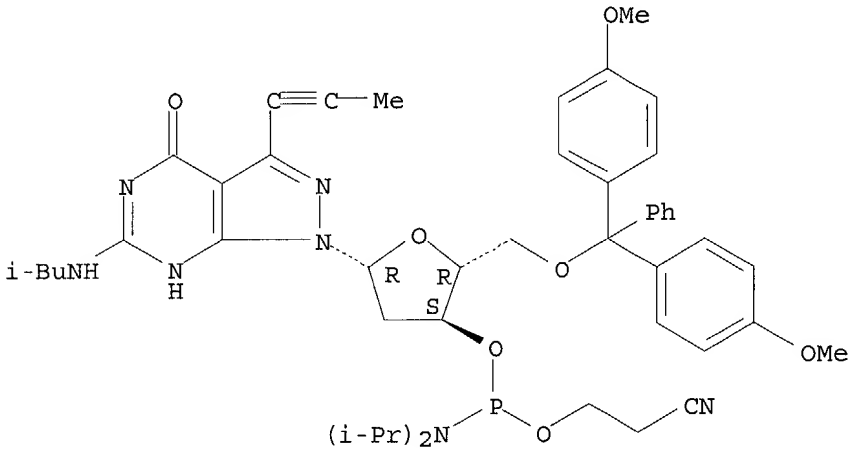
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:52027 CAPLUS
DOCUMENT NUMBER: 139:161146
TITLE: Propynyl groups in duplex DNA: stability of base pairs incorporating 7-substituted 8-aza-7-deazapurines or 5-substituted pyrimidines
AUTHOR(S): He, Junlin; Seela, Frank
CORPORATE SOURCE: Institut fuer Chemie, Laboratorium fuer Organische und Bioorganische Chemie, Universitaet Osnabrueck, Osnabrueck, D-49069, Germany
SOURCE: Nucleic Acids Research (2002), 30(24), 5485-5496
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Oligonucleotides incorporating the 7-propynyl derivs. of 8-aza-7-deaza-2'-deoxyguanosine (3b) and 8-aza-7-deaza-2'-deoxyadenosine (4b) were synthesized and their duplex stability was compared with those contg. the 5-propynyl derivs. of 2'-deoxycytidine (1) and 2'-deoxyuridine (2). For this purpose phosphoramidites of the 8-aza- 7-deazapurine (pyrazolo[3,4-d]pyrimidine) nucleosides were prepd. and employed in solid-phase synthesis. All propynyl nucleosides exert a pos. effect on the DNA duplex stability because of the increased polarizability of the nucleobase and the hydrophobic character of the propynyl group. The propynyl residues introduced into the 7-position of the 8-aza-7-deazapurines are generally more stabilizing than those at the 5-position of the pyrimidine bases. The duplex stabilization of the propynyl deriv. 4b was higher than for the bromo nucleoside 4c. The extraordinary stability of duplexes contg. the 7-propynyl deriv. of 8-aza-7- deazapurin-2,6-diamine (5b) is attributed to the formation of a third hydrogen bond, which is apparently not present in the base pair of

the purin-2,6-diamine 2'-deoxyribonucleoside with dT.

IT **570413-61-5P 570413-65-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 7-propynyl-substituted 8-aza-7-deazapurines or 5-propynyl-substituted pyrimidines)

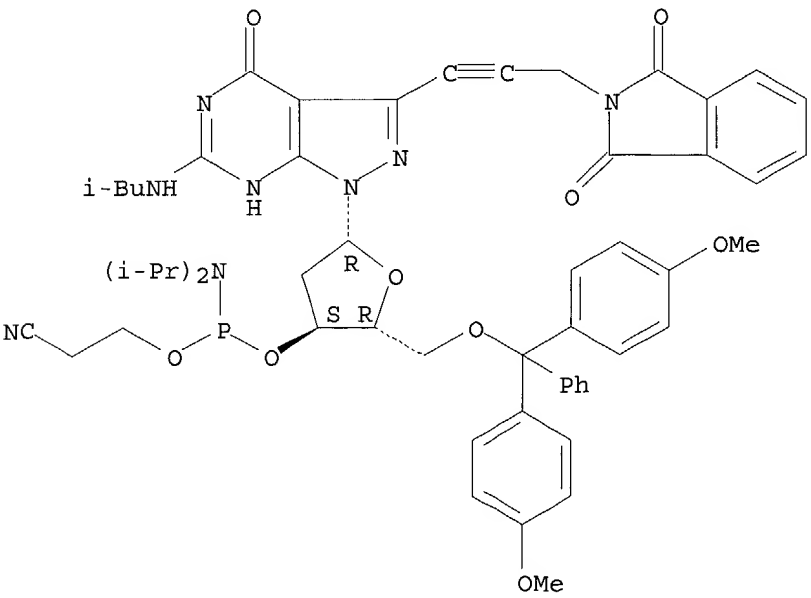
RN 570413-61-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-1,5-dihydro-6-[(2-methylpropyl)amino]-3-(1-propynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 570413-65-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-6-[(2-methylpropyl)amino]-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-propynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:661664 CAPLUS
DOCUMENT NUMBER: 135:237547
TITLE: Modified oligonucleotides containing pyrazolo[3,4-d]pyrimidines and 5-substituted pyrimidines for mismatch discrimination
INVENTOR(S): Dempcy, Robert O.; Gall, Alexander A.; Lokhov, Sergey G.; Afonina, Irina A.; Singer, Michael J.; Kutyavin, Igor V.; Vermeulen, Nicolaas M. J.
PATENT ASSIGNEE(S): Epoch Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064958	A2	20010907	WO 2001-US6900	20010301
WO 2001064958	A3	20020328		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1261616	A2	20021204	EP 2001-916372	20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525292	T2	20030826	JP 2001-563645	20010301

PRIORITY APPLN. INFO.:
US 2000-186046P P 20000301
US 2000-724959 A 20001128
WO 2001-US6900 W 20010301

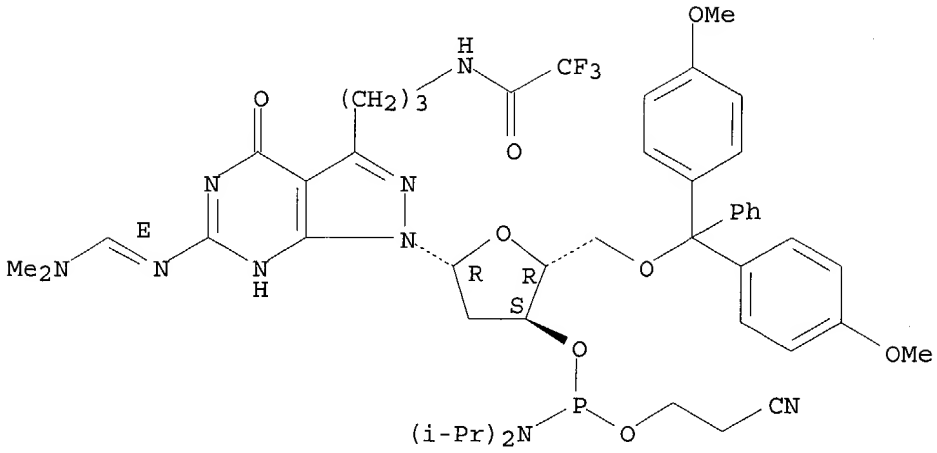
AB Modified oligonucleotides are provided contg. bases selected from unsubstituted and 3-substituted pyrazolo[3,4-d]pyrimidines and 5-substituted pyrimidines, and optionally have attached minor groove binders and reporter groups. These modified oligonucleotides may be used in hybridization and primer extension assays. Thus, a thermodn. investigation of mismatch discrimination was performed on a set of oligonucleotides hybridized to a set of targets perfectly matched or contg. a single mismatch. The target sequences contained (a) normal A's, (b) 4-amino-3-(prop-1-ynyl)pyrazolo[3,4-d]pyrimidine (PPPA) in place of A, (c) normal A's and a 3' minor groove binder, or (d) PPPA in place of A and a 3' minor groove binder. Detn. of Tm's and .DELTA..DELTA.G050's clearly indicated increased mismatch discrimination when PPPA is substituted for A and even larger discrimination when PPPA is combined with a minor groove binder.

IT **358979-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(modified oligonucleotides contg. pyrazolo[3,4-d]pyrimidines and 5-substituted pyrimidines for mismatch discrimination)

RN 358979-36-9 CAPLUS
CN Acetamide, N-[3-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-6-[(E)-[(dimethylamino)methylene]amino]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-3-yl]propyl]-2,2,2-trifluoro- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.
Double bond geometry as shown.



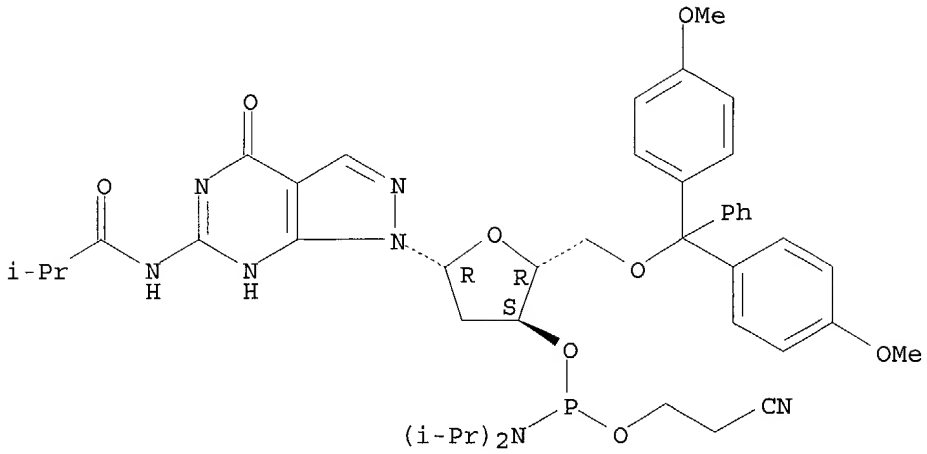
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:693428 CAPLUS
DOCUMENT NUMBER: 132:64475
TITLE: Oligonucleotides containing pyrazolo[3,4-d]pyrimidines: the influence of 7-substituted 8-aza-7-deaza-2'-deoxyguanosines on the duplex structure and stability
AUTHOR(S): Seela, Frank; Becher, Georg
CORPORATE SOURCE: Laboratorium fur Organische und Bioorganische Chemie, Institut fur Chemie, Universitat Osnabruck, Osnabruck, D-49069, Germany
SOURCE: Helvetica Chimica Acta (1999), 82(10), 1640-1655
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oligonucleotides contg. 7-substituted 8-aza-7-deazaguanines (= 6-amino-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-ones) were prepd. by automated solid-phase synthesis. A series of 7-alkynylated 8-aza-7-deaza-2'-deoxyguanosines were synthesized with the 7-iodonucleoside as starting material and by the Pd0/Cu1-catalyzed cross-coupling reaction with various alkynes. Phosphoramidites were prepd. from the 7-substituted 8-aza-7-deaza-2'-deoxyguanosine derivs. carrying halogeno, cyano, and hexynyl substituents. From the melting profiles of oligonucleotide duplexes, the Tm values as well as the thermodn. data were detd. A significant duplex stabilization by the 7-substituents was obsd. for the DNA .cntdot. DNA duplexes, but not in the case of DNA .cntdot. RNA hybrids.

IT **118907-76-9P 183274-65-9P 183274-66-0P**
252761-72-1P 252761-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of oligonucleotides contg. pyrazolo[3,4-d]pyrimidines and the influence of substituted deazadeoxyguanosines on the duplex structure and stability)

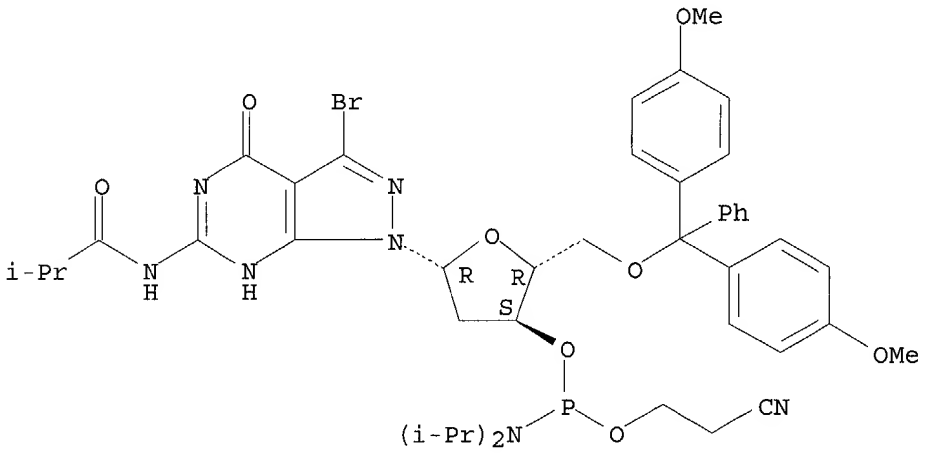
RN 118907-76-9 CAPLUS
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



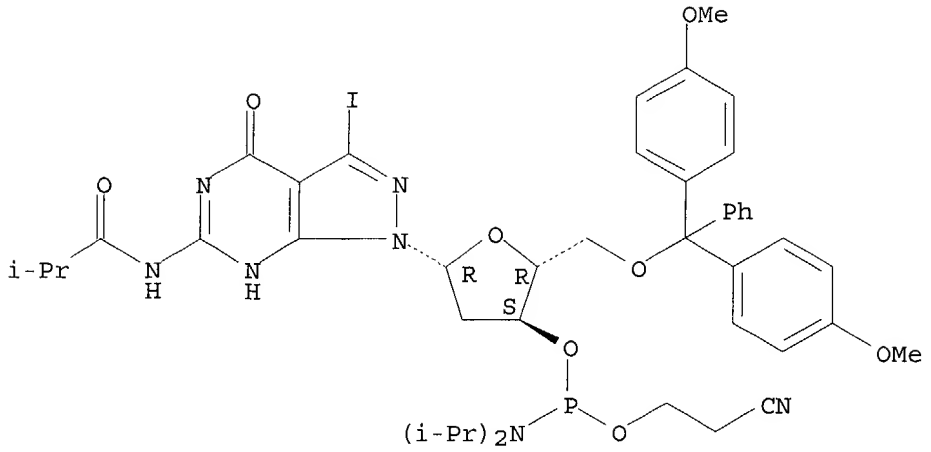
RN 183274-65-9 CAPLUS
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-3-bromo-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



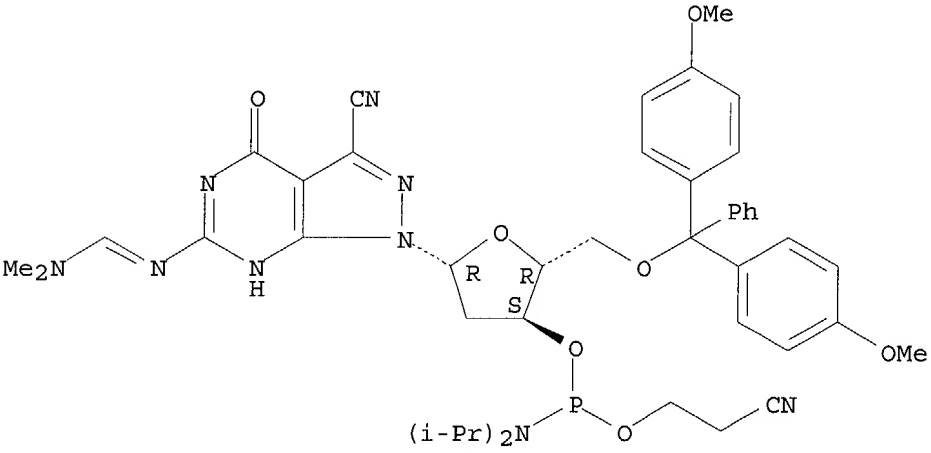
RN 183274-66-0 CAPLUS
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-3-iodo-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



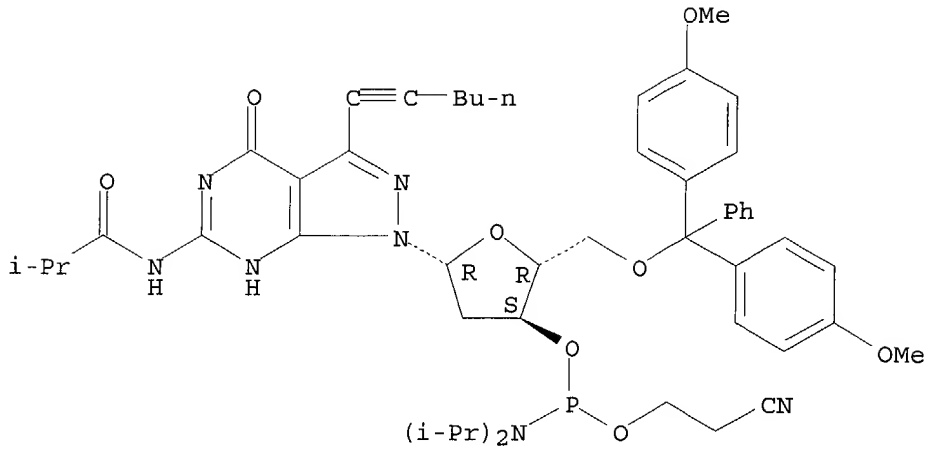
RN 252761-72-1 CAPLUS
CN Methanimidamide, N'-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-3-cyano-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 252761-79-8 CAPLUS
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-3-(1-hexynyl)-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

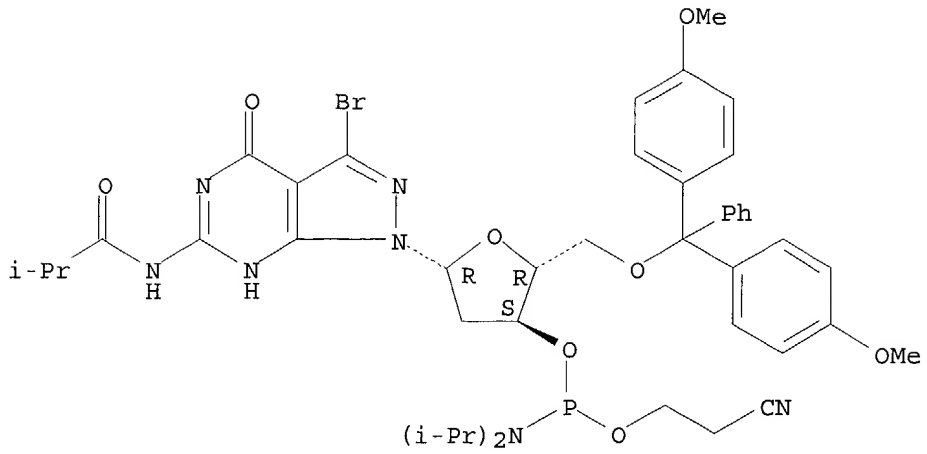
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:599079 CAPLUS
DOCUMENT NUMBER: 129:330959
TITLE: Stabilization of duplex DNA by 7-halogenated 8-aza-7-deazaguanines
AUTHOR(S): Seela, Frank; Becher, Georg
CORPORATE SOURCE: Institut fur Chemie, Laboratorium fur Organische und Bioorganische Chemie, Universitat Osnabruck, Osnabruck, D-49069, Germany
SOURCE: Chemical Communications (Cambridge) (1998), (18), 2017-2018
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oligonucleotides contg. 7-halogenated 8-aza-7-deaza-2'-deoxyguanosine (c7z8Gd) derivs. such as d(Br7c7z8 G-C)4 8 (Tm = 88 .degree.C) and d(I7c7z8 G-C)4 9 (Tm = 84 .degree.C) are significantly more stable than d(G-C)4 5 (Tm = 59 .degree.C).

IT **183274-65-9P 183274-66-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stabilization of duplex DNA by halogenated 8-aza-7-deaza-2-deoxyguanosines)

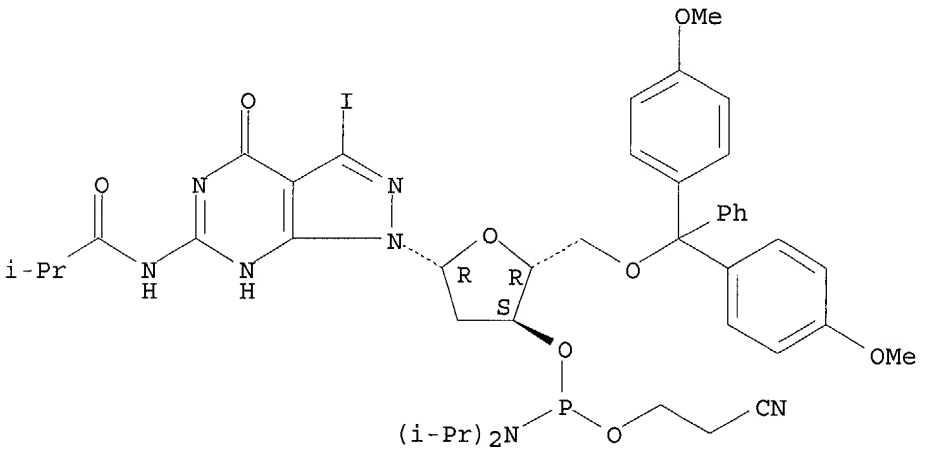
RN 183274-65-9 CAPLUS
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-3-bromo-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



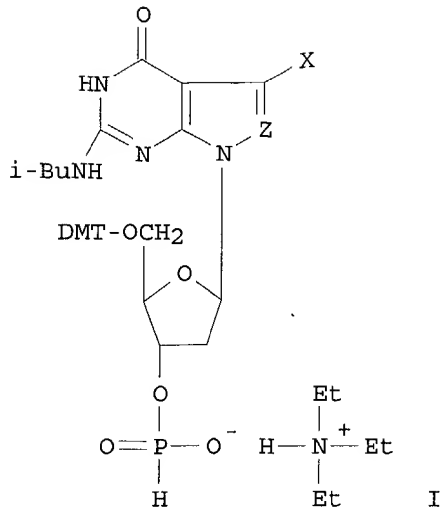
RN 183274-66-0 CAPLUS
CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-3-iodo-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:600970 CAPLUS
DOCUMENT NUMBER: 125:329249
TITLE: 7-Deazapurine DNA: oligonucleotides containing 7-substituted 7-deaza-2'-deoxyguanosine and 8-aza-7-deaza-2'-deoxyguanosine
AUTHOR(S): Seela, Frank; Ramzaeva, Natalya; Becher, Georg
CORPORATE SOURCE: Institut Chemie, Universitaet Osnabrueck, Osnabrueck, D-49069, Germany
SOURCE: Collection of Czechoslovak Chemical Communications (1996), 61(Spec. Issue), S258-S261
CODEN: CCCCAK; ISSN: 0010-0765
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

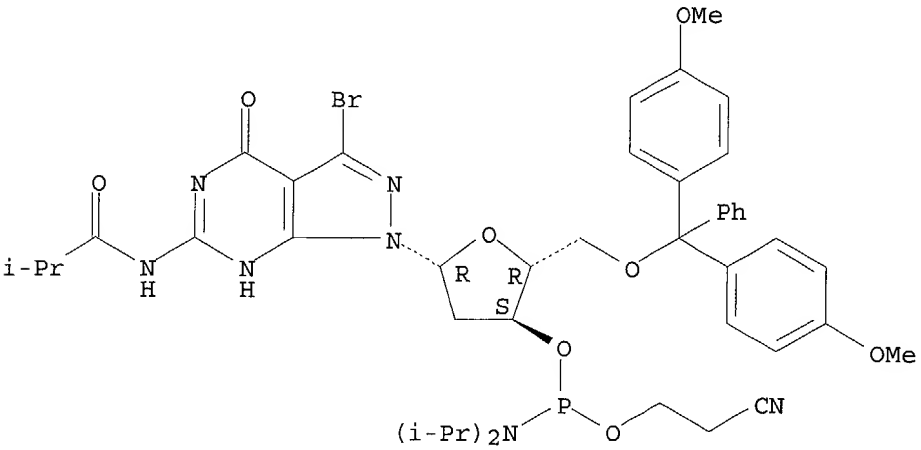
AB The synthesis of 7-halo substituted 7-deaza- and 8-aza-7-deaza-2'-deoxyguanosines, their incorporation into oligonucleotides, and the stability of corresponding duplexes were described. For example, the nucleoside analogs I (Z = carbon, nitrogen; X = bromo, iodo) were incorporated into oligonucleoside analogs.

IT **183274-65-9P 183274-66-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of deazadeoxyguanosine and azadeazadeoxyguanosine-contg. oligonucleotides)

RN 183274-65-9 CAPLUS

CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-3-bromo-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

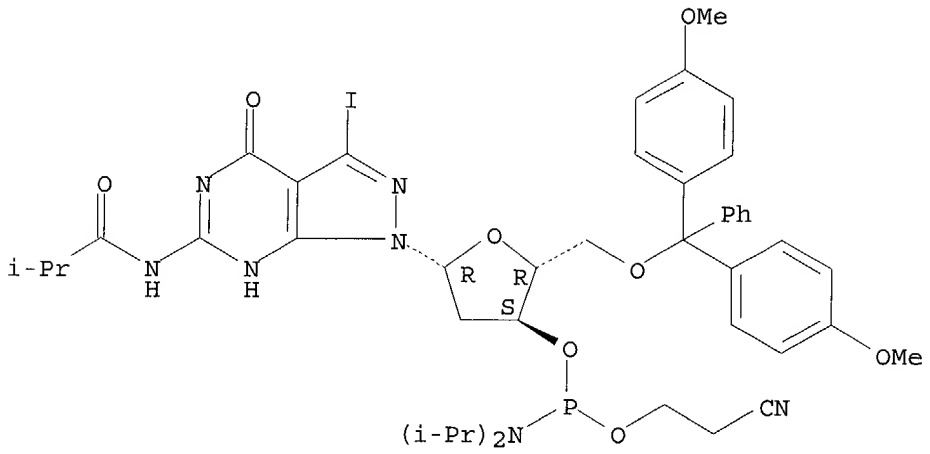


RN 183274-66-0 CAPLUS

CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-3-iodo-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-

2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:119293 CAPLUS
DOCUMENT NUMBER: 112:119293
TITLE: Pyrazolo[3,4-d]pyrimidine 2'-deoxyribo- and 2',3'-dideoxyribofuranosides: synthesis and application to oligonucleotide chemistry
AUTHOR(S): Seela, F.; Driller, H.; Kaiser, K.; Rosemeyer, H.; Steker, H.
CORPORATE SOURCE: Lab. Org. Bioorg. Chem., Univ. Osnabrueck, Fed. Rep. Ger.
SOURCE: Nucleosides & Nucleotides (1989), Volume Date 1988, 8(5-6), 789-92
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:119293
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

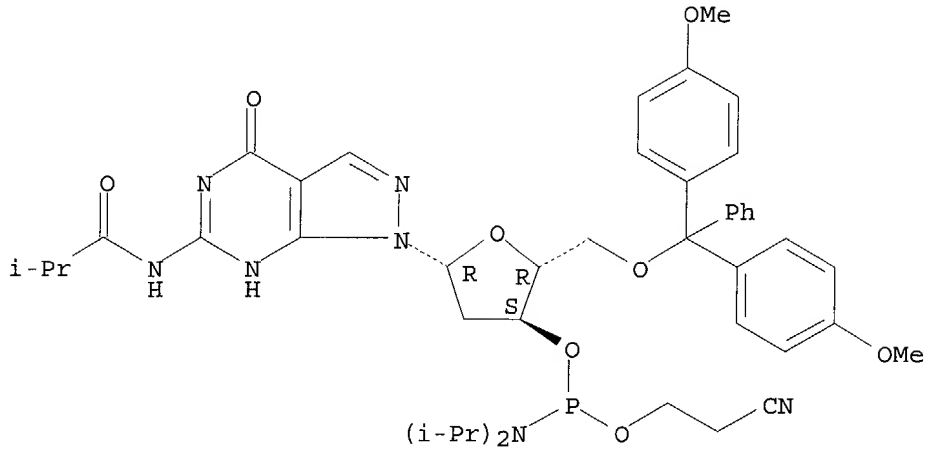
AB A symposium communication on the synthesis of pyrazolopyrimidine deoxyribonucleosides, e.g., I (R = NH2, H; R1 = H, NH2) and II (R2 = H, NH2), is described employing either liq.-liq. or solid-liq. phase-transfer glycosylation. From I (R = NH2, R1 = H) and II (R2= NH2), the phosphoramidates III (R3 = Me, CH2CH2CN, DMT = dimethoxytrityl) and IV were prepd. They were used in automated solid-phase synthesis of 10 oligonucleotides. Deoxygenation of I (R = NH2, R1 = H) and II (R2 = NH2) yielded pyrazolopyrimidine 2',3'-dideoxynucleosides isosteric to ddA, ddG, and ddI.

IT **118907-76-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for synthesis of oligonucleotides)

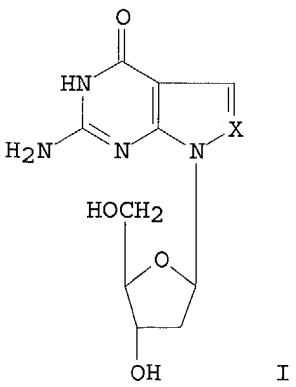
RN 118907-76-9 CAPLUS

CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1989:458263 CAPLUS
DOCUMENT NUMBER: 111:58263
TITLE: Alternating d(G-C)3 and d(C-G)3 hexanucleotides containing 7-deaza-2'-deoxyguanosine or 8-aza-7-deaza-2'-deoxyguanosine in place of dG
AUTHOR(S): Seela, Frank; Driller, Hansjuergen
CORPORATE SOURCE: Fachber. Biol./Chem., Univ. Osnabrueck, Osnabrueck, D-4500, Fed. Rep. Ger.
SOURCE: Nucleic Acids Research (1989), 17(3), 901-10
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The synthesis of alternating hexamers derived from d(C-G)3 or d(G-C)3 but contg. c7z8Gd (I, X = N) or c7Gd (I, X = CH) instead of dG is described employing phosphoramidite-chem. Apart from the isobutyryl group, the dimethylaminomethylene residue was used for the nucleobase-protection of I (X = CH). The methyl- and the cyanoethyl-phosphoramidites of I (X = CH) were prepd. They were employed together with those of c7G or c7z8Gd in automated oligonucleotide synthesis. Tm-values as well as thermodn. data of the oligomers indicated that duplexes were destabilized if c7Gd replaced dG, whereas c7z8Gd stabilized the duplex structure. In contrast to d(C-G)3 which underwent salt-dependent B-Z transition, the CD spectra

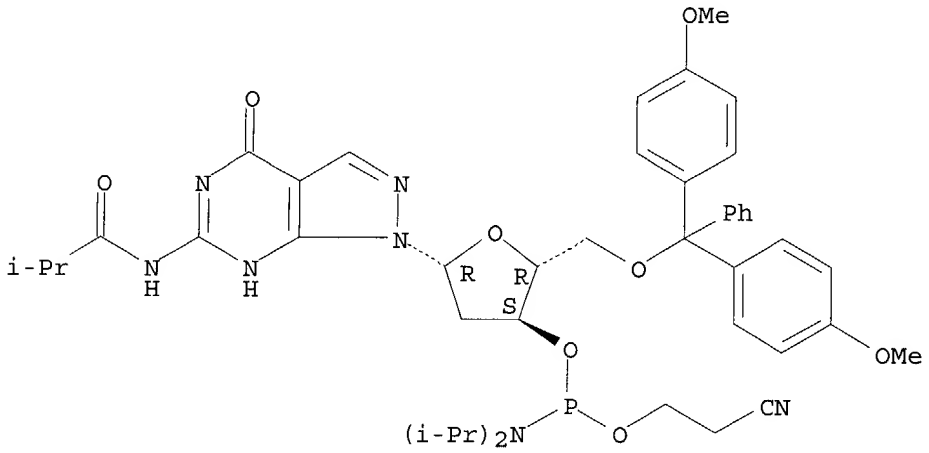
of oligomers contg. c7Gd or c7z8Gd in place of dG showed retained .beta.-conformation.

IT **118907-76-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of, in synthesis of hexanucleotides)

RN 118907-76-9 CAPLUS

CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:75966 CAPLUS
DOCUMENT NUMBER: 110:75966
TITLE: 8-Aza-7-deaza-2'-deoxyguanosine: phosphoramidite synthesis and properties of octanucleotides
AUTHOR(S): Seela, Frank; Driller, Hansjuergen
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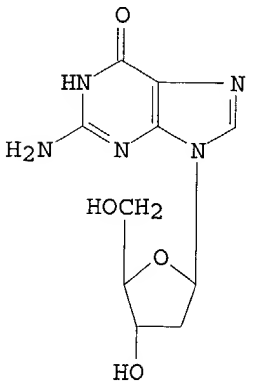
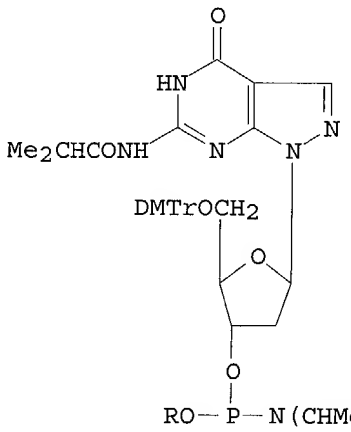
GI



II



III

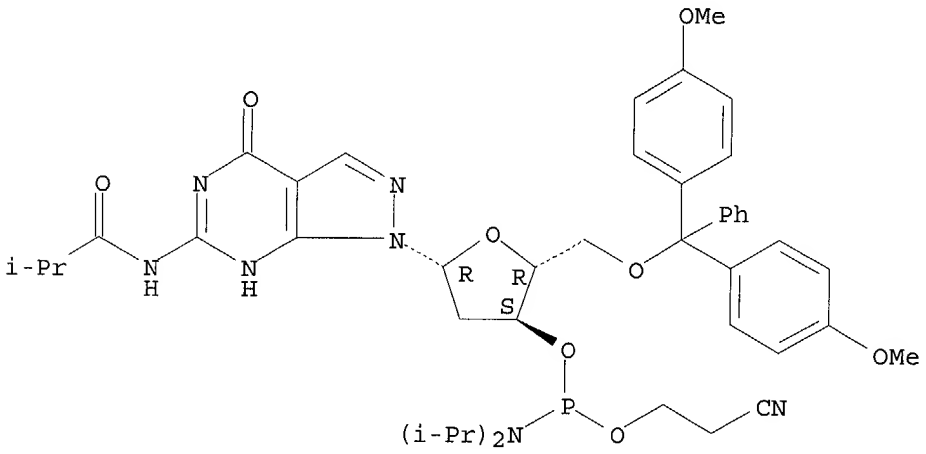
AB Base-modified octanucleotides derived from d(G1-G2-A-A-T-T-C-C) (I) but contg. 8-aza-7-deaza-2'-deoxyguanosine (II) instead of 2'-deoxyguanosine have been prepd. by solid-phase synthesis employing P(III) chem. Isobutyrylation of II, followed by 4,4'-dimethoxytritylation and subsequent phosphitylation yielded the Me or the cyanoethyl phosphoramidites III [R = Me, (CH2)2CN], resp. They were used as building blocks in automated DNA synthesis. The resulting octanucleotides contg. II showed increased Tm values compared to the parent oligomer I. The oligomers prepd. were employed as sequence-specific probes in endodeoxyribonuclease Eco RI oligonucleotide recognition. Whereas displacement of dG-2 (enzymic cleavage site of I) abolished phosphodiester hydrolysis, replacement of dG-1 enhanced the cleavage rate compared to I.

IT **118907-76-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, intermediate in synthesis of octanucleotides)

RN 118907-76-9 CAPLUS

CN Propanamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-.beta.-D-erythro-pentofuranosyl]-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L2              1 S L1 SSS SAM
L3              9 S L1 SSS FULL

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